

10/540,616K Yong Chu 5-7-2007

\$%^STN;HighlightOn=;HighlightOff=;

Connecting via Winsock to STN

15/17 ~~VS CH3~~  
HO- VS <sup>10</sup>501 CH3  
phenyl

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LOGINID:ssptaylc1626

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NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN  
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NEWS 6 JAN 22 CA/Caplus updated with revised CAS roles  
NEWS 7 JAN 22 CA/Caplus enhanced with patent applications from India  
NEWS 8 JAN 29 PHAR reloaded with new search and display fields  
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in multiple databases  
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NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality  
NEWS 13 FEB 26 MEDLINE reloaded with enhancements  
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field  
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE  
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements  
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases  
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format  
NEWS 19 MAR 16 CASREACT coverage extended  
NEWS 20 MAR 20 MARPAT now updated daily  
NEWS 21 MAR 22 LWPI reloaded  
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements  
NEWS 23 APR 02 JICST-EPLUS removed from database clusters and STN  
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field  
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records  
NEWS 26 APR 30 CA/Caplus enhanced with 1870-1889 U.S. patent records  
NEWS 27 APR 30 INPADOC replaced by INPADOCDB on STN  
NEWS 28 MAY 01 New CAS web site launched

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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FILE 'HOME' ENTERED AT 09:52:39 ON 07 MAY 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 6 MAY 2007 HIGHEST RN 934336-20-6

DICTIONARY FILE UPDATES: 6 MAY 2007 HIGHEST RN 934336-20-6

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

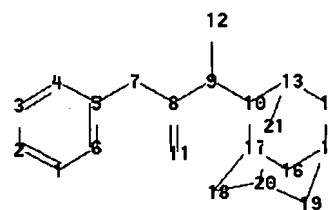
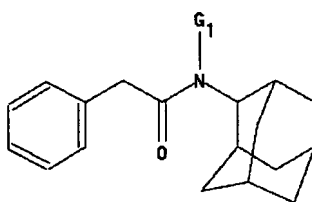
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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=>

Uploading C:\Documents and Settings\ychu\Desktop\Case\10540616\10540616K.str



chain nodes :

7 8 9 11 12

ring nodes :

1 2 3 4 5 6 10 13 14 15 16 17 18 19 20 21

chain bonds :

5-7 7-8 8-9 8-11 9-10 9-12

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-13 10-17 13-14 13-21 14-15 15-16 15-19 16-17

17-18 18-20 19-20 20-21

exact/norm bonds :

8-9 8-11 9-10 9-12 10-13 10-17 13-14 13-21 14-15 15-16 15-19 16-17 17-18

18-20 19-20 20-21

exact bonds :

5-7 7-8

normalized bonds :

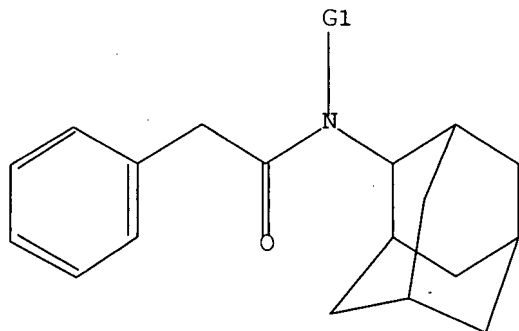
1-2 1-6 2-3 3-4 4-5 5-6

G1:H,CH

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom  
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom

=> d  
L1 HAS NO ANSWERS  
L1 STR



G1 H,CH

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 09:53:16 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 527 TO ITERATE

100.0% PROCESSED 527 ITERATIONS 3 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 9163 TO 11917  
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 09:53:20 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 10289 TO ITERATE

100.0% PROCESSED 10289 ITERATIONS 118 ANSWERS  
SEARCH TIME: 00.00.01

L3 118 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 09:53:25 ON 07 MAY 2007  
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FILE LAST UPDATED: 6 May 2007 (20070506/ED)

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=> s l3

L4 17 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:79600 CAPLUS Full-text

DOCUMENT NUMBER: 146:220145

TITLE: Adamantane sulfone and sulfonamide 11-.beta.-HSD1 Inhibitors

AUTHOR(S): Sorensen, Bryan; Winn, Martin; Rohde, Jeff; Shuai, Qi; Wang, Jiahong; Fung, Steven; Monzon, Katina; Chiou, William; Stolarik, DeAnne; Imade, Hovis; Pan, Liping; Deng, Xiaoqing; Chovan, Linda; Longenecker, Kenton; Judge, Russell; Qin, Wenying; Brune, Michael; Camp, Heidi; Frevert, Ernst U.; Jacobson, Peer; Link, J. T.

CORPORATE SOURCE: Abbott, Abbott Park, IL, 60064-6098, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(2), 527-532

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Potent and selective adamantane sulfone and sulfonamide inhibitors of 11-.beta.-HSD-1 have been discovered. Selected compds. from these series have robust pharmacokinetic profiles and strongly inhibit liver, fat, and brain HSD1 for extended periods after oral dosing.

IT 924298-69-1P

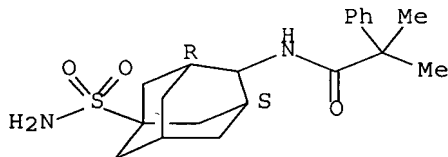
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(adamantane sulfone and sulfonamide 11-.beta.-HSD1 Inhibitors)

RN 924298-69-1 CAPLUS

CN Benzeneacetamide, N-[(1R,3S)-5-(aminosulfonyl)tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl]-.alpha.,.alpha.-dimethyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:657359 CAPLUS Full-text  
DOCUMENT NUMBER: 145:110213  
TITLE: Metabolic stabilization of substituted adamantane  
INVENTOR(S): Rohde, Jeffrey J.; Pan, Liping; Pliushchev, Marina;  
Link, James T.  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 11 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

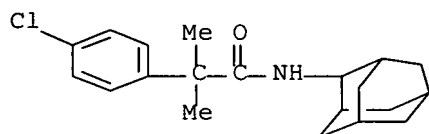
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2006148871	A1	20060706	US 2006-325956	20060105
PRIORITY APPLN. INFO.:			US 2005-641676P	P 20050105
OTHER SOURCE(S):	MARPAT 145:110213			

AB The present invention is directed to the method of increasing the metabolic stability of adamantane contg. compds. that are inhibitors of the 11-beta-hydroxysteroid dehydrogenase Type 1 (11-beta-HSD-1) enzyme. The stability is achieved by substitutions of the adamantane ring. For example, soln. of 2-adamantanamine hydrochloride 38 mg, 2-phenylisobutyric acid 30 mg, , and O-benzotriazol-1-yl-N,N,N',N'-tetramethyluronium tetrafluoroborate 65 mg in N,N-dimethylacetamide 2 mL and DIEA 80 .mu.L, was stirred for 16 h at 23 OC to get N-2-adamantyl-2-methyl-2- phenylpropanamide.

IT 717889-77-5P 717889-79-7P  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(metabolic stabilization of substituted adamantane)

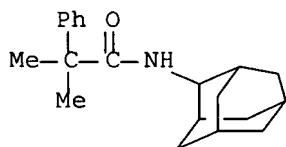
RN 717889-77-5 CAPLUS

CN Benzeneacetamide, 4-chloro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-79-7 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



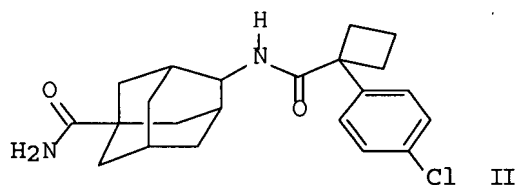
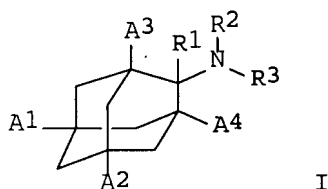
L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:657188 CAPLUS Full-text  
 DOCUMENT NUMBER: 145:124215  
 TITLE: Preparation of N-adamantane carboxamide derivatives as inhibitors of the 11-beta-hydroxysteroid dehydrogenase type 1 enzyme  
 INVENTOR(S): Rohde, Jeffrey J.; Shuai, Qi; Link, James T.; Patel, Jyoti R.; Dinges, Jurgen; Sorensen, Bryan K.; Yong, Hong; Yeh, Vince S.; Kurukulasuriya, Ravi  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 58 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006149070	A1	20060706	US 2006-326277	20060105
WO 2006074330	A2	20060713	WO 2006-US402	20060105
WO 2006074330	A3	20070125		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-641496P P 20050105  
 US 2006-326277 A 20060105  
 OTHER SOURCE(S): MARPAT 145:124215  
 GI



AB Title compds. I [A1-4 one of which = alkyl-NH-alkyl, alkylcarbonyl, cycloalkyl, etc. with the remaining of A = H, alkyl, aryl, etc.; R1 = H or alkyl; R2 = H, alkyl or cycloalkyl; R3 = substituted acetyl with CO attached directly to N forming amide bond], and their pharmaceutically acceptable salts, are prepd. and disclosed as inhibitors of the 11-beta-hydroxysteroid dehydrogenase Type 1 enzyme. Thus, e.g., II was prepd. by amination of the corresponding acid (prepn. given). The present invention further relates to the use of inhibitors of 11-beta-hydroxysteroid dehydrogenase Type 1 enzyme for the treatment of non-insulin dependent type 2 diabetes, insulin resistance, obesity, lipid disorders, metabolic syndrome and other diseases and conditions that are mediated by excessive glucocorticoid action. In assays for inhibition of 11-beta-hydroxysteroid dehydrogenase Type 1 enzyme, I demonstrated IC50 values ranging from 16-104 nM.

IT 717889-79-7P 897394-74-0P 897394-78-4P  
 897394-88-6P 897394-92-2P 897394-94-4P  
 897395-00-5P 897395-01-6P 897395-02-7P  
 897395-03-8P 897395-05-0P 897395-10-7P  
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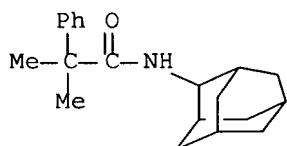
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-adamantane carboxamide derivs. as inhibitors of the 11-beta-hydroxysteroid dehydrogenase type 1 enzyme)

RN 717889-79-7 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl-(9CI) (CA INDEX NAME)

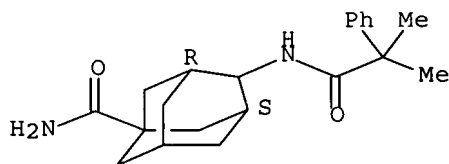




RN 897394-74-0 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

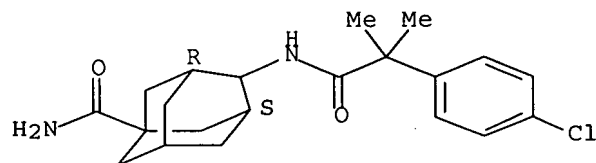
Relative stereochemistry.



RN 897394-78-4 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-(4-chlorophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

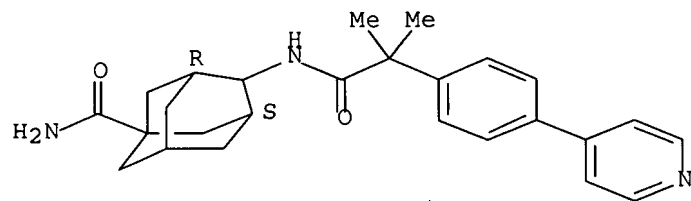
Relative stereochemistry.



RN 897394-88-6 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(4-pyridinyl)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

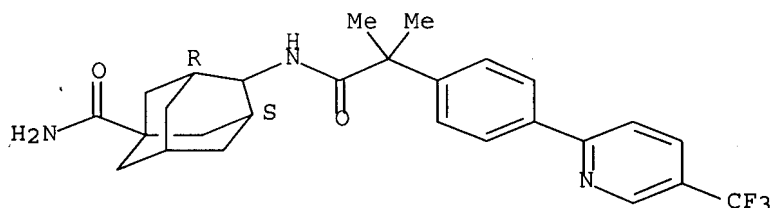


RN 897394-92-2 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-[5-(pyridin-2-yl)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

(trifluoromethyl)-2-pyridinyl]phenyl]propyl]amino]-, stereoisomer (9CI)  
(CA INDEX NAME)

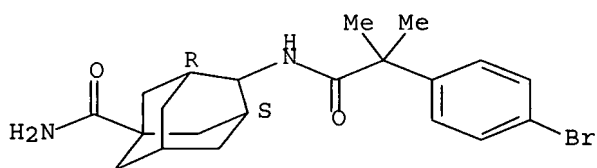
Relative stereochemistry.



RN 897394-94-4 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-(4-bromophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

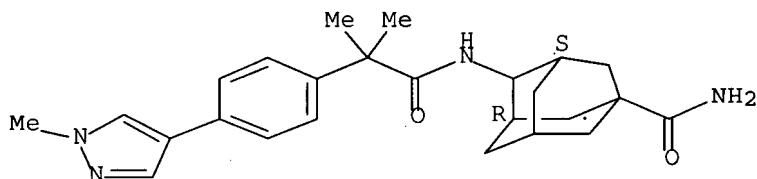
Relative stereochemistry.



RN 897395-00-5 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-methyl-2-[4-(1-methyl-1H-pyrazol-4-yl)phenyl]-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

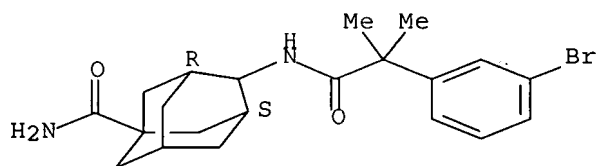
Relative stereochemistry.



RN 897395-01-6 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-(3-bromophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

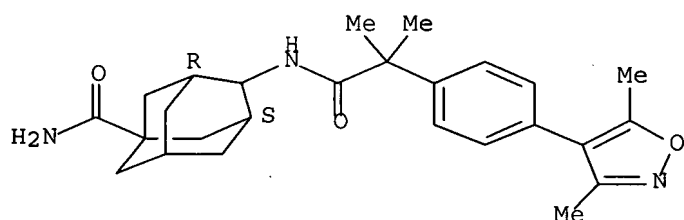
Relative stereochemistry.



RN 897395-02-7 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-[4-(3,5-dimethyl-4-isoxazolyl)phenyl]-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

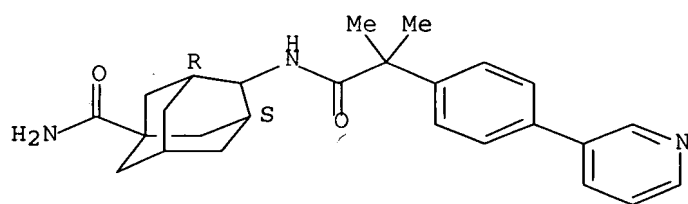
Relative stereochemistry.



RN 897395-03-8 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(3-pyridinyl)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

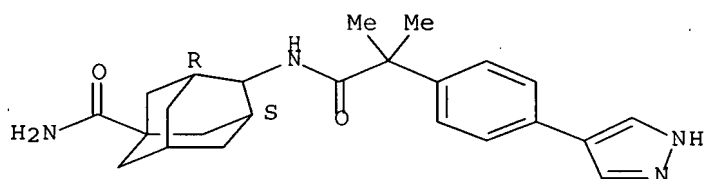
Relative stereochemistry.



RN 897395-05-0 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(1H-pyrazol-4-yl)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

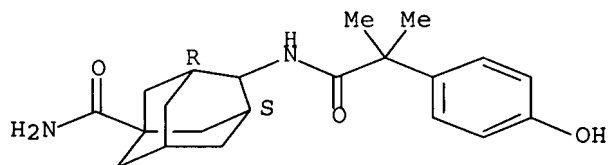
Relative stereochemistry.



RN 897395-10-7 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-(4-hydroxyphenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

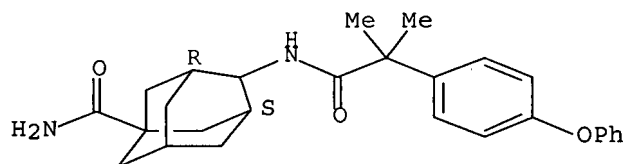
Relative stereochemistry.



RN 897395-12-9 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-(4-phenoxyphenyl)propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

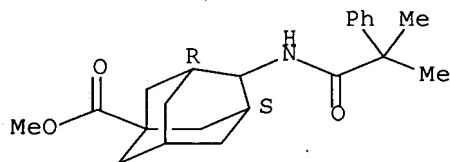
Relative stereochemistry.



RN 897395-18-5 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxylic acid, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, methyl ester, stereoisomer (9CI) (CA INDEX NAME)

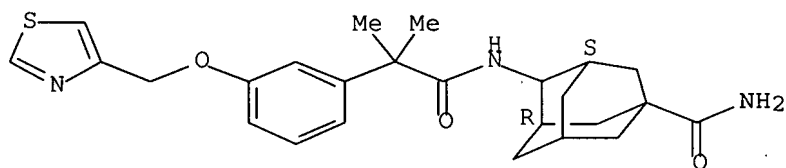
Relative stereochemistry.



RN 897395-19-6 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[3-(4-thiazolylmethoxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

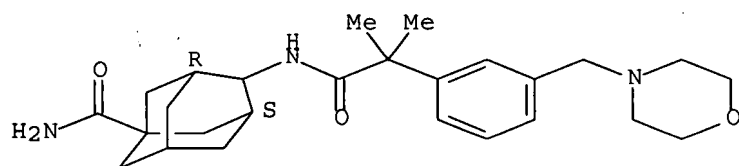
Relative stereochemistry.



RN 897395-21-0 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, 4-[[2-methyl-2-[3-(4-morpholinylmethyl)phenyl]-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

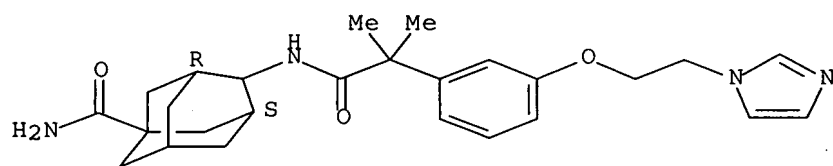
Relative stereochemistry.



RN 897395-23-2 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, 4-[[2-[3-[2-(1H-imidazol-1-yl)ethoxy]phenyl]-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

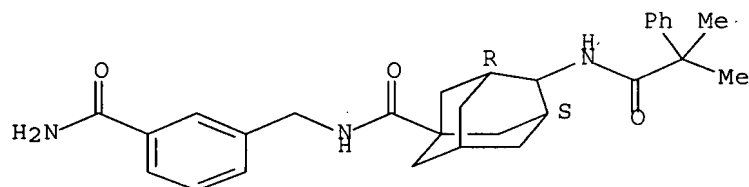
Relative stereochemistry.



RN 897395-26-5 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, N-[[3-(aminocarbonyl)phenyl]methyl]-4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

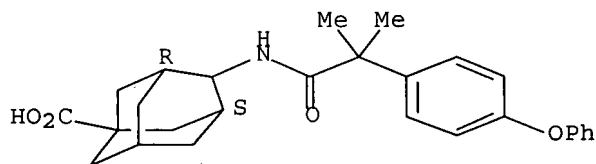
Relative stereochemistry.



RN 897395-29-8 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-(4-phenoxyphenyl)propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

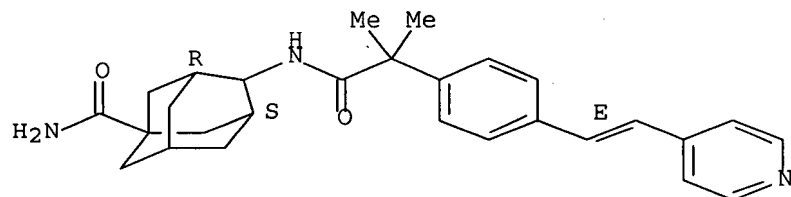


RN 897395-37-8 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-[2-(4-pyridinyl)ethenyl]phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

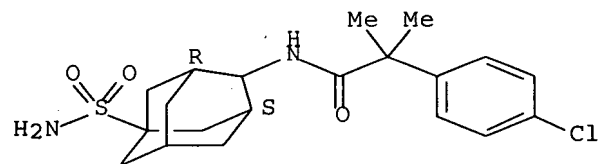
Double bond geometry as shown.



RN 897395-38-9 CAPLUS

CN Benzeneacetamide, N-[5-(aminosulfonyl)tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl]-4-chloro-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

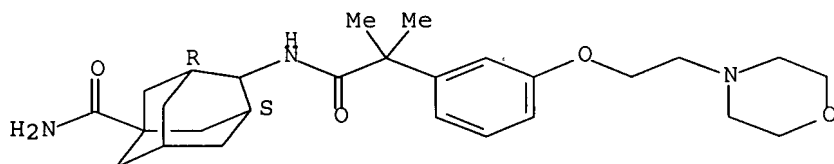
Relative stereochemistry.



RN 897395-39-0 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-methyl-2-[3-[2-(4-morpholinyl)ethoxy]phenyl]-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

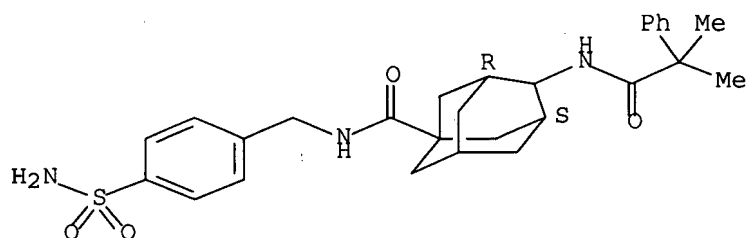
Relative stereochemistry.



RN 897395-43-6 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, N-[[4-(aminosulfonyl)phenyl]methyl]-4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

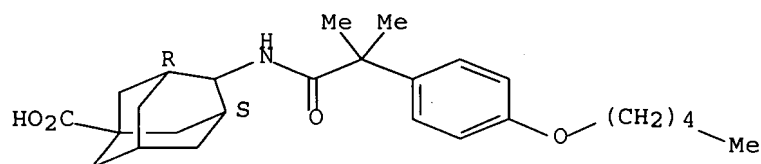
Relative stereochemistry.



RN 897395-44-7 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-(pentyloxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

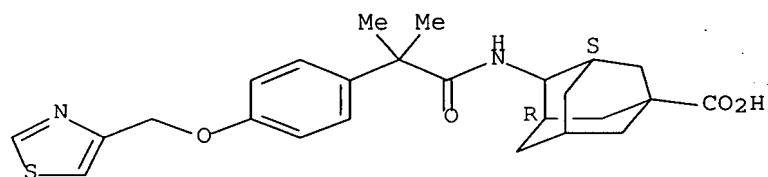
Relative stereochemistry.



RN 897395-45-8 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-(4-thiazolylmethoxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

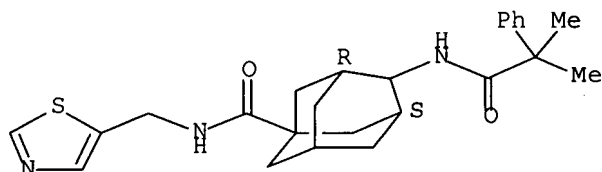
Relative stereochemistry.



RN 897395-46-9 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(5-thiazolylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

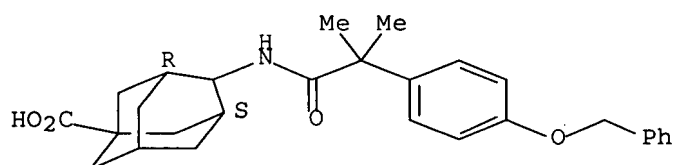
Relative stereochemistry.



RN 897395-47-0 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-(phenylmethoxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

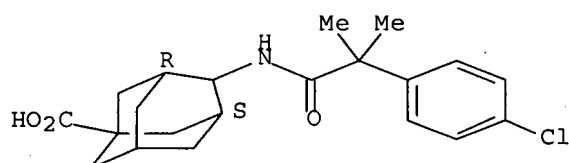
Relative stereochemistry.



RN 897395-49-2 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxylic acid, 4-[[2-(4-chlorophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

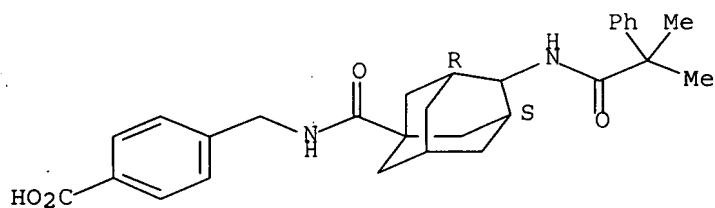


RN 897395-51-6 CAPLUS

CN Benzoic acid, 4-[[[4-[(2-methyl-1-oxo-2-phenylpropyl)amino]tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-yl]carbonyl]amino]methyl]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

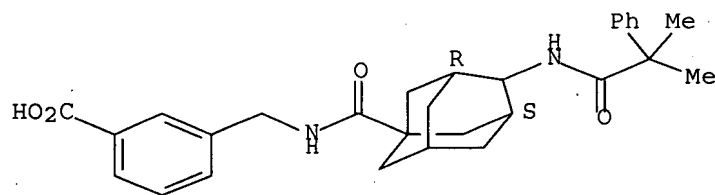




RN 897395-52-7 CAPLUS

CN Benzoic acid, 3-[[[4-[(2-methyl-1-oxo-2-phenylpropyl)amino]tricyclo[3.3.1.1.3,7]dec-1-yl]carbonyl]amino]methyl]-, stereoisomer (9CI) (CA INDEX NAME)

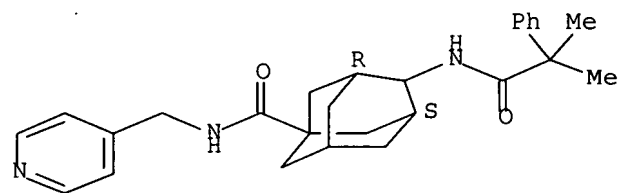
Relative stereochemistry.



RN 897395-54-9 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(4-pyridinylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

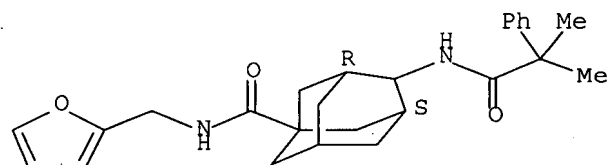
Relative stereochemistry.



RN 897395-56-1 CAPLUS

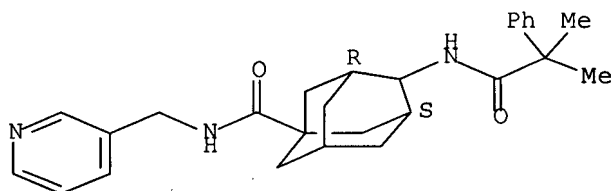
CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, N-(2-furanylmethyl)-4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



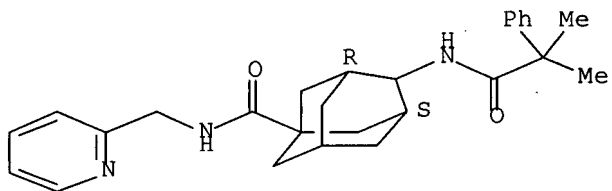
RN 897395-57-2 CAPLUS  
 CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(3-pyridinylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



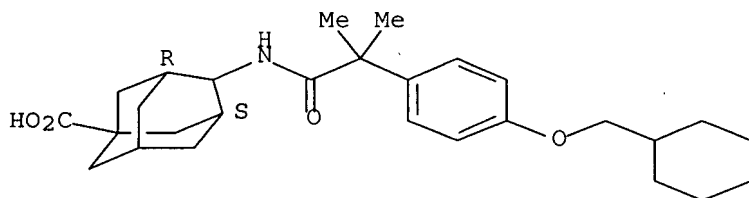
RN 897395-58-3 CAPLUS  
 CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(2-pyridinylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



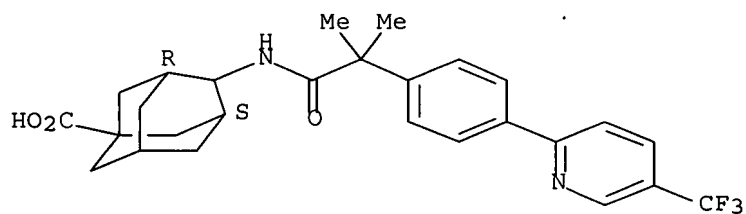
RN 897395-59-4 CAPLUS  
 CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxylic acid, 4-[[2-[4-(cyclohexylmethoxy)phenyl]-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 897395-60-7 CAPLUS  
 CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-[5-(trifluoromethyl)-2-pyridinyl]phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 897395-62-9 CAPLUS

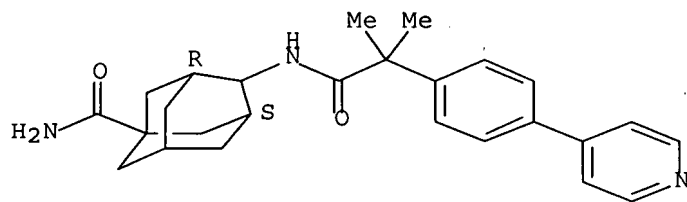
CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(4-pyridinyl)phenyl]propyl]amino]-, (3R,5S)-rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 897394-88-6

CMF C26 H31 N3 O2

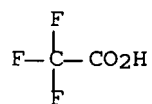
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



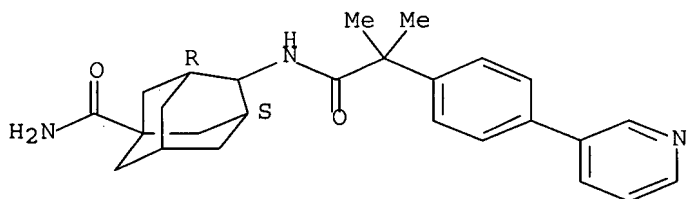
RN 897395-65-2 CAPLUS

CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(3-pyridinyl)phenyl]propyl]amino]-, (3R,5S)-rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

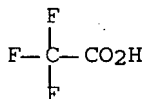
CRN 897395-03-8  
CMF C26 H31 N3 O2

Relative stereochemistry.



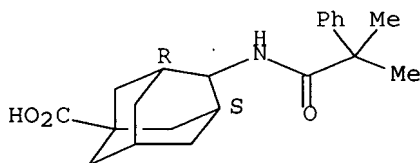
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



IT 897394-71-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of N-adamantane carboxamide derivs. as inhibitors of the 11-beta-hydroxysteroid dehydrogenase type 1 enzyme)  
RN 897394-71-7 CAPLUS  
CN Tricyclo[3.3.1.1<sup>3,7</sup>]decane-1-carboxylic acid, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

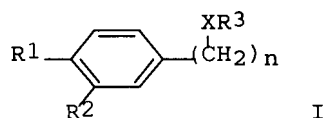


L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:240654 CAPLUS Full-text  
DOCUMENT NUMBER: 145:174227  
TITLE: Application of vanilloid receptor agonist to prepare anti-Alzheimer's medical products  
INVENTOR(S): Chen, Chunlin; Mao, Chen; Zhang, Jintao  
PATENT ASSIGNEE(S): Shanghai Medicilon Inc., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 47 pp.  
 CODEN: CNXXEV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1736485	A	20060222	CN 2005-10027292	20050629
PRIORITY APPLN. INFO.:			CN 2005-10027292	20050629
OTHER SOURCE(S):	MARPAT 145:174227			

GI



AB The medical application of vanilloid receptor agonist for prevention, diagnosis, detection, treatment, and research of Alzheimer's disease and its assocd. diseases is presented. The vanilloid receptor agonist is vanillin or its deriv. with the structure I where R1 = OH, alkyl, alkoxy, acyloxy, aminoalkoxy, H, NH2, or halo; R2 = alkoxy, H, OH, NH2, alkyl, aliph. amino, arom. amino, aminoalkoxy, or acyloxy; R3 = C5-23 alkyl, alkenyl, diterpenyl, Ph, adamantyl, C5-23 piperazinyl, or their substituted deriv.; n = 0-2; and X = NHCO, CONH, COO, NHCOO, NHCONH, NHCSNH, or NH(O)S(O) and/or capsaicin analogs without 4-hydroxy- 3-methoxybenzylvanillyl but contg. phenolic OH and three assumed binding sites (vanillyl, amido, and aliph. chain). The drug delivery systems (powder injection, injection, large-capacity injection, tablet, and capsule) of the vanilloid vector agonist were prepd.

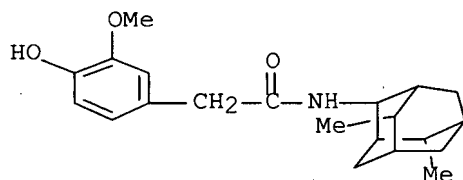
IT 900150-25-6P 900150-58-5P

RL: DGN (Diagnostic use); FFD (Food or feed use); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(application of vanilloid receptor agonist to prep. anti-Alzheimer's medical products)

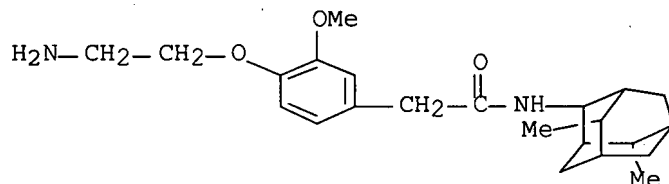
RN 900150-25-6 CAPLUS

CN Benzeneacetamide, N-(4,8-dimethyltricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)-4-hydroxy-3-methoxy- (9CI) (CA INDEX NAME)



RN 900150-58-5 CAPLUS

CN Benzeneacetamide, 4-(2-aminoethoxy)-N-(4,8-dimethyltricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1042205 CAPLUS Full-text

DOCUMENT NUMBER: 143:346908

TITLE: Preparation of phenol derivatives as .beta.2 androgen receptor agonists

INVENTOR(S): Brown, Alan Daniel; Bunnage, Mark Edward; Glossop, Paul Alan; James, Kim; Lane, Charlotte Alice Louise; Lewthwaite, Russell Andrew; Lunn, Graham; Price, David Anthony

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 243 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

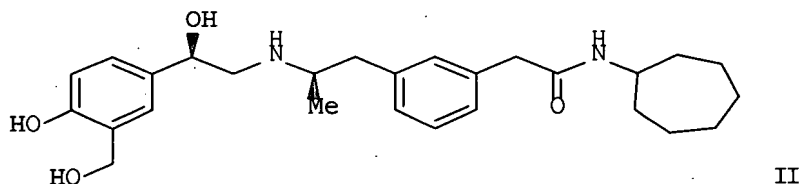
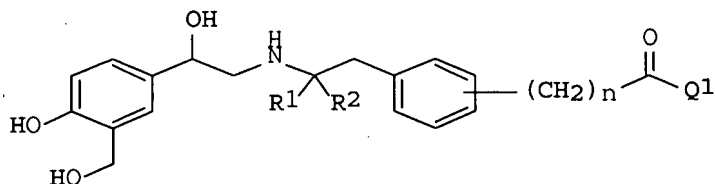
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090287	A2	20050929	WO 2005-IB640	20050310
WO 2005090287	A3	20060216		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1577291	A1	20050921	EP 2004-290725	20040317
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
AU 2005223488	A1	20050929	AU 2005-223488	20050310
CA 2559203	A1	20050929	CA 2005-2559203	20050310
EP 1727789	A2	20061206	EP 2005-708731	20050310
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			

CN 1942432  
NO 2006003875  
PRIORITY APPLN. INFO.:

A 20070404  
A 20060926

CN 2005-80011467  
NO 2006-3875  
EP 2004-290725  
US 2004-591790P  
GB 2004-25064  
WO 2005-IB640  
20050310  
20060830  
A 20040317  
P 20040727  
A 20041112  
W 20050310

OTHER SOURCE(S): MARPAT 143:346908  
GI



AB Title compds. I [(CH<sub>2</sub>)<sub>n</sub>-C(O)Q<sub>1</sub> is meta or para; R<sub>1</sub> and R<sub>2</sub> independently = H or alkyl; n = 0-2; Q<sub>1</sub> = mono- or disubstituted amine] and their pharmaceutically acceptable salts, are prepd. and disclosed as agonists of .beta.2 androgen receptor. Thus, e.g., II was prepd. by amidation of (3-{(2R)-2-[(2R)-2-[[tert-butyl(dimethyl)silyl]oxy}-2-(4-hydroxy-3-hydroxymethyl-phenyl)-ethylamino]-propyl}-phenyl)-acetic acid (prepn. given) with cycloheptylamine followed by deprotection. The agonist potency of I for the .beta.2 androgen receptor was evaluated using CHO cells and it was found that selected compds. of the invention possessed EC<sub>50</sub> values in the range of 0.064 up to 0.874 nM. I as .beta.2 androgen receptor agonist should prove useful in the treatment of asthma, bronchitis and chronic obstructive pulmonary disease. Pharmaceutical compns. comprising I are disclosed.

IT 864153-28-6P

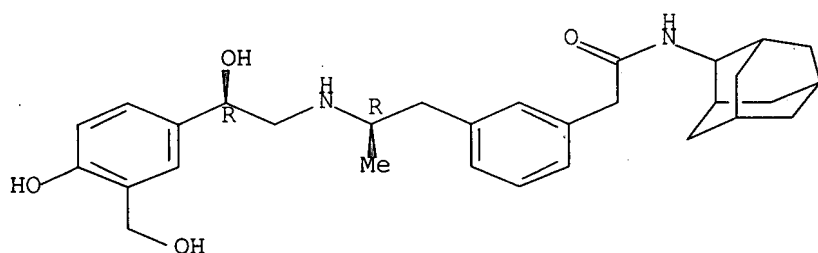
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenol derivs. as .beta.2 androgen receptor agonists)

RN 864153-28-6 CAPLUS

CN Benzeneacetamide, 3-[(2R)-2-[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 864153-29-7P

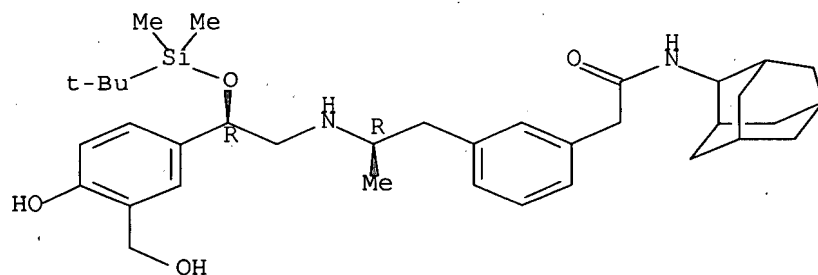
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenol derivs. as .beta.2 androgen receptor agonists)

RN 864153-29-7 CAPLUS

CN Benzeneacetamide, 3-[(2R)-2-[[[(2R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.3<sup>7</sup>]dec-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1020452 CAPLUS Full-text

DOCUMENT NUMBER: 143:286168

TITLE: Phenylethanolamine derivatives as beta-2 agonists, their preparation and pharmaceutical compositions

PATENT ASSIGNEE(S): Pfizer Limited, UK

SOURCE: Eur. Pat. Appl., 99 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1577291	A1	20050921	EP 2004-290725	20040317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
AU 2005223488	A1	20050929	AU 2005-223488	20050310
CA 2559203	A1	20050929	CA 2005-2559203	20050310
WO 2005090287	A2	20050929	WO 2005-IB640	20050310
WO 2005090287	A3	20060216		



W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1727789 A2 20061206 EP 2005-708731 20050310

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

CN 1942432 A 20070404 CN 2005-80011467 20050310

NL 1028559 A1 20050920 NL 2005-1028559 20050316

NL 1028559 C2 20060419

US 2005234097 A1 20051020 US 2005-83265 20050316

NO 2006003875 A 20060926 NO 2006-3875 20060830

PRIORITY APPLN. INFO.: EP 2004-290725 A 20040317

US 2004-591790P P 20040727

GB 2004-25064 A 20041112

US 2005-642875P P 20050110

WO 2005-IB640 W 20050310

OTHER SOURCE(S): MARPAT 143:286168

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to phenylethanolamine derivs. I, which are adrenergic .beta.2 agonists. In compds. I, the (CH<sub>2</sub>)<sub>n</sub>-C(=O)X group is in the meta or para position; R<sub>1</sub> and R<sub>2</sub> are independently selected from H and C<sub>1</sub>-4 alkyl; n is 0-2; and X is mono- or disubstituted amino. The invention also relates to the prepn. of I, pharmaceutical compns. contg. an effective amt. of a compd. I and optionally contg. one or more pharmaceutically acceptable excipients and/or additives, as well as to the use of the compns. for the treatment of inflammatory, allergic, and respiratory diseases. Me (R)-2-(benzyloxy)-5-(2-bromo-1-hydroxyethyl)benzoate was protected with TBDMS chloride and then underwent hydride redn. to give II. Esterification of 3-bromophenylacetic acid followed by tin-mediated coupling with isopropenyl acetate, enantioselective reductive amination with (R)-.alpha.-methylbenzylamine, and hydrogenation resulted in the formation of III. Nucleophilic substitution of II with III followed by debenzylation, ester hydrolysis, amidation with cycloheptylamine, and desilylation gave phenylethanolamine IV. The compds. of the invention are agonists of .beta.2 receptors and show good potency with .beta.2 cAMP EC<sub>50</sub> below 10 nM.

IT 864153-28-6P, N-2-Adamantyl-2-[3-[(2R)-2-[[ (2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]phenyl]acetamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

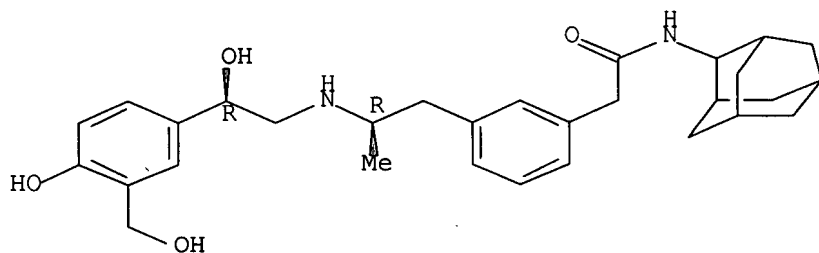
(drug candidate; prepn. of phenylethanolamine derivs. as .beta.2 agonists)

RN 864153-28-6 CAPLUS

CN Benzeneacetamide, 3-[(2R)-2-[[ (2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

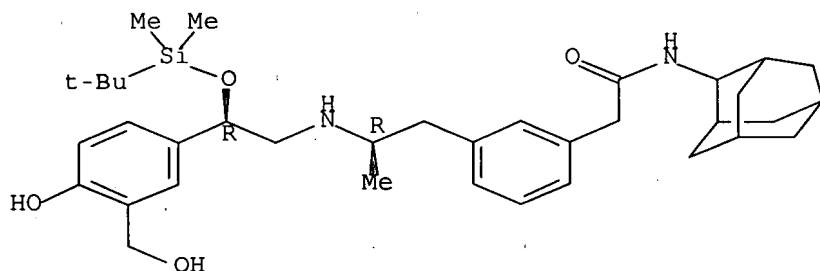


IT 864153-29-7P, N-2-Adamantyl-2-[3-[(2R)-2-[[2-[(tert-butyl)dimethylsilyl]oxy]-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]phenyl]acetamide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; prepn. of phenylethanolamine derivs. as .beta.2 agonists)

RN 864153-29-7 CAPLUS

CN Benzeneacetamide, 3-[(2R)-2-[[2-[(2R)-2-[[1,1-dimethylethyl]dimethylsilyl]oxy]-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:443652 CAPLUS Full-text

DOCUMENT NUMBER: 143:133140

TITLE: Synthesis and Identification of Small Molecules that Potently Induce Apoptosis in Melanoma Cells through G1 Cell Cycle Arrest

AUTHOR(S): Dothager, Robin S.; Putt, Karson S.; Allen, Brittany J.; Leslie, Benjamin J.; Nesterenko, Vitaliy; Hergenrother, Paul J.

CORPORATE SOURCE: Department of Chemistry and Department of Biochemistry, Roger Adams Laboratory, University of Illinois, Urbana, IL, 61801, USA

SOURCE: Journal of the American Chemical Society (2005),

127(24), 8686-8696  
CODEN: JACSAT; ISSN: 0002-7863  
American Chemical Society

PUBLISHER:  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 143:133140

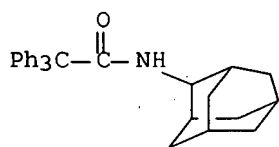
AB Late-stage malignant melanoma is a cancer that is refractory to current chemotherapeutic treatments. The av. survival time for patients with such a diagnosis is 6 mo. In general, the vast majority of anticancer drugs operate through induction of cell cycle arrest and cell death in either the DNA synthesis (S) or mitosis (M) phase of the cell cycle. Unfortunately, the same mechanisms that melanocytes possess to protect cells from DNA damage often confer resistance to drugs that derive their toxicity from S or M phase arrest. Described herein is the synthesis of a combinatorial library of potential proapoptotic agents and the subsequent identification of a class of small mols. (triphenylmethyl)amides (TPMAs), e.g.  $\text{Ph}_3\text{C}(\text{CH}_2)_n\text{CONHR}$  ( $n = 0, 1$ ; R = alkyl, aralkyl, aryl, etc.), that arrest the growth of melanoma cells in the G1 phase of the cell cycle. Several of these TPMAs are quite potent inducers of apoptotic death in melanoma cell lines ( $\text{IC}_{50}$  .apprx. 0.5  $\mu\text{M}$ ), and importantly, some TPMAs are comparatively nontoxic to normal cells isolated from the bone marrow of healthy donors. Furthermore, the TPMAs were found to dramatically reduce the level of active nuclear factor  $\kappa\text{-B}$  (NF. $\kappa\text{B}$ ) in the cell; NF. $\kappa\text{B}$  is known to be constitutively active in melanoma, and this activity is crit. for the proliferation of melanoma cells and their evasion of apoptosis. Compds. that reduce the level of NF. $\kappa\text{B}$  and arrest cells in the G1 phase of the cell cycle can provide insights into the biol. of melanoma and may be effective antimelanoma agents.

IT 851714-63-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)  
(combinatorial prepn. of triphenylmethyamides as agents that induce apoptosis in melanoma cells through G1 cell cycle arrest)

RN 851714-63-1 CAPLUS

CN Benzeneacetamide, .alpha., .alpha.-diphenyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:423717 CAPLUS Full-text

DOCUMENT NUMBER: 142:463355

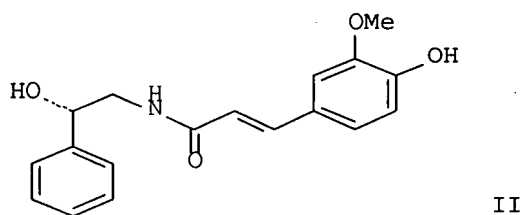
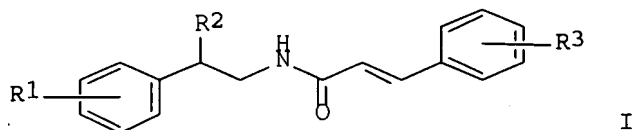
TITLE: A preparation of combinatorial library of phenylacrylamide derivatives, useful for treatment of cancer and modulation of programmed cell death for melanoma

INVENTOR(S): Hergenrother, Paul J.; Nesterenko, Vitaliy; Putt, Karson; Allen, Brittany Joy; Dothager, Robin Shane; Leslie, Benjamin James

PATENT ASSIGNEE(S): The Board of Trustees of the University of Illinois,

SOURCE: USA  
 PCT Int. Appl., 112 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044191	A2	20050519	WO 2004-US35746	20041028
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005197511	A1	20050908	US 2004-976186	20041027
PRIORITY APPLN. INFO.:			US 2003-516556P	P 20031030
			US 2004-603246P	P 20040820
			US 2004-976186	A 20041027
OTHER SOURCE(S):		MARPAT 142:463355		
GI				



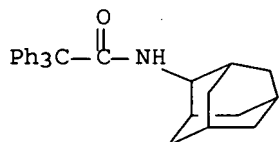
AB The invention relates to a prepn. of combinatorial library of phenylacrylamide derivs. of formula I [wherein: R1 is H, one or more halogens, or one or more alkyl, etc.; R2 and R3 are independently H, halogen, halogenated alkyl, or alkoxy, etc.], useful for treatment of cancer and modulation of programmed cell death for melanoma and other cancer cells. For instance, phenylacrylamide II (IC50 = 61 .mu.M) was prepd. via amidation of (4-hydroxy-3-methoxyphenyl)acrylic acid by (2-hydroxy-2-phenylethyl)amine with a yield of 42%.  
 IT 851714-63-1P  
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study);  
PREP (Preparation); USES (Uses)

(prepn. of combinatorial library of phenylacrylamide derivs. useful for  
treatment of cancer)

RN 851714-63-1 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-diphenyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl-  
(9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:878302 CAPLUS Full-text

DOCUMENT NUMBER: 141:360694

TITLE: Combination therapy using an 11.beta.-hydroxysteroid  
dehydrogenase type 1 inhibitor and an antihypertensive  
agent for the treatment of metabolic syndrome and  
related diseases and disorders

INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 297 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089416	A2	20041021	WO 2004-DK254	20040406
WO 2004089416	A3	20050303		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1615666	A2	20060118	EP 2004-725887	20040406
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
JP 2006522750	T	20061005	JP 2006-504357	20040406
US 2006111348	A1	20060525	US 2005-254125	20051011
PRIORITY APPLN. INFO.:			DK 2003-565	A 20030411
			DK 2003-566	A 20030411
			DK 2003-567	A 20030411
			DK 2003-569	A 20030411
			DK 2003-570	A 20030411

DK 2003-571	A	20030411
US 2003-467284P	P	20030502
US 2003-467362P	P	20030502
US 2003-467363P	P	20030502
US 2003-467437P	P	20030502
US 2003-467453P	P	20030502
US 2003-467800P	P	20030502
DK 2003-776	A	20030522
DK 2003-777	A	20030522
US 2003-474421P	P	20030530
US 2003-475157P	P	20030602
DK 2003-972	A	20030627
DK 2003-988	A	20030630
DK 2003-989	A	20030630
DK 2003-990	A	20030630
DK 2003-998	A	20030702
US 2003-486078P	P	20030710
US 2003-486094P	P	20030710
US 2003-486095P	P	20030710
US 2003-486097P	P	20030710
US 2003-486098P	P	20030710
DK 2003-1910	A	20031222
DK 2004-9	A	20040106
US 2004-537099P	P	20040116
WO 2004-DK254	W	20040406

OTHER SOURCE(S): MARPAT 141:360694

AB The invention discloses combination therapy comprising the administration of an 11.beta.-hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent useful for treating, preventing and reducing the risk of developing insulin resistance, dyslipidemia, obesity, hypertension and other related diseases and disorders.

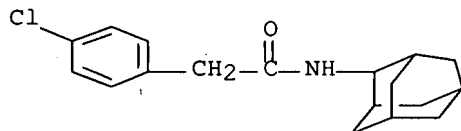
IT 352343-40-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(hydroxysteroid dehydrogenase inhibitor-antihypertensive agent combination for treatment of metabolic syndrome and related conditions)

RN 352343-40-9 CAPLUS

CN Benzeneacetamide, 4-chloro-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:878301 CAPLUS Full-text

DOCUMENT NUMBER: 141:360721

TITLE: Combination therapy using an 11.beta.-hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist to treat cancer and inflammation-associated diseases and to minimize the side effects associated with glucocorticoid receptor agonist therapy

INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
 SOURCE: PCT Int. Appl., 305 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089415	A2	20041021	WO 2004-DK248	20040406
WO 2004089415	A3	20050310		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1615667	A2	20060118	EP 2004-725890	20040406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006522744	T	20061005	JP 2006-504351	20040406
US 2006094699	A1	20060504	US 2005-246814	20051007
PRIORITY APPLN. INFO.:				
			DK 2003-565	A 20030411
			DK 2003-566	A 20030411
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			DK 2003-1910	A 20031222
			DK 2004-9	A 20040106
			US 2004-537099P	P 20040116
			DK 2003-567	A 20030411
			DK 2003-777	A 20030522

OTHER SOURCE(S): MARPAT 141:360721

AB The invention discloses combination therapy comprising the administration of an 11.beta.-hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist for treating some forms of cancer, diseases and disorders having inflammation as a component, and to minimize the side effects assocd. with glucocorticoid receptor agonist therapy.

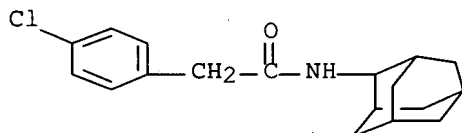
IT 352343-40-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(hydroxysteroid dehydrogenase inhibitor-glucocorticoid agonist combination to treat cancer and inflammation-assocd. diseases and minimize side effects assocd. with glucocorticoid agonist therapy)

RN 352343-40-9 CAPLUS

CN Benzeneacetamide, 4-chloro-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:872724 CAPLUS Full-text

DOCUMENT NUMBER: 141:366223

TITLE: Pharmaceutical use of substituted amides as 11.beta.-hydroxysteroid dehydrogenase type 1 modulators, especially inhibitors, for treating metabolic

INVENTOR(S): Andersen, Henrik Sune; Kampen, Gita Camilla Tejlgaard; Christensen, Inge Thoger; Mogensen, John Patrick; Larsen, Annette Rosendal; Kilburn, John Paul

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089470	A2	20041021	WO 2004-DK250	20040406
WO 2004089470	A3	20041223		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

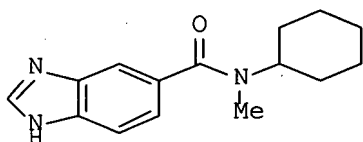
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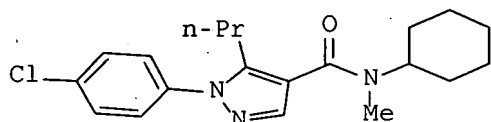
TD, TG  
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 JP 2006522746 T 20061005 JP 2006-504353 20040406  
 US 2006111366 A1 20060525 US 2005-265794 20051011  
 PRIORITY APPLN. INFO.:

DK 2003-565 A 20030411  
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 US 2003-486078P P 20030710  
 US 2003-486094P P 20030710  
 US 2003-486095P P 20030710  
 US 2003-486097P P 20030710  
 US 2003-486098P P 20030710  
 DK 2003-1910 A 20031222  
 DK 2004-9 A 20040106  
 US 2004-537099P P 20040116  
 WO 2004-DK250 W 20040406

OTHER SOURCE(S): MARPAT 141:366223  
 GI



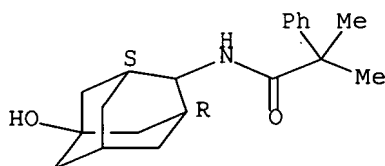
II



III

AB The invention is directed to the use of substituted amides of formula  $R_3CONR_1R_2$  (I), and their optical isomers or mixt. of optical isomers, including racemates, and tautomers, their prodrugs, pharmaceutically acceptable salts, [wherein  $R_1$  = (un)substituted cyclo/het cyclo/aryl/hetaryl/alkyl, het/aryl, etc.;  $R_2$  = H, (un)substituted aryl/cycloalkyl/alkylcarboxy/alkyl, het/aryl; or  $R_1NR_2$  = (un)substituted (un)satd. bi/tricyclic ring contg. 4-10 carbons, and 0-2 heteroatoms;  $R_3$  = (un)substituted cyclo/het cyclo/aryl/alkyloxy/hetaryl/arylalkyl/alkyl, alkenyl, alkynyl, het/aryl] for modulating, esp. inhibiting, the activity of 11.beta.-hydroxysteroid dehydrogenase type 1 (11.beta.-HSD1) and use of their pharmaceutical compns. in the treatment, prevention, prophylaxis of a range of medical disorders where a decreased intracellular concn. of active glucocorticoid is desirable. The invention is also directed to the prepn. of certain title compds. I. For instance, acylation of 1H-benzimidazole-5-

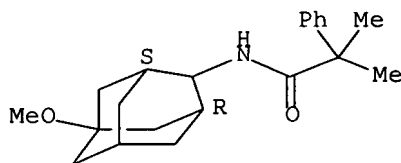
Relative stereochemistry.



RN 718599-63-4 CAPLUS

CN Benzeneacetamide, N-(5-methoxytricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)-  
.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:354079 CAPLUS Full-text

DOCUMENT NUMBER: 136:355487

TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John

PATENT ASSIGNEE(S): Tularik Ltd., UK

SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 485,678.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002055522	A1	20020509	US 2001-988082	20011119
US 6740682	B2	20040525		
WO 9911658	A1	19990311	WO 1998-GB2605	19980828

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW

AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = org. linker contg. 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)satd., (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxa, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2], or corresponding compds. in which the (un)substituted amidino group R1R2NC(:NR1) is replaced with an (un)substituted aminomethyl group, or their physiol. tolerable salts were prepd. as serine protease inhibitors useful as

antithrombotic agents. 3-Amidino- and 3-(aminomethyl)benzoyl-D-phenylglycine 4- aminomethylcyclohexylmethanamide are among 190 compds. synthesized.

IT 221235-32-1P

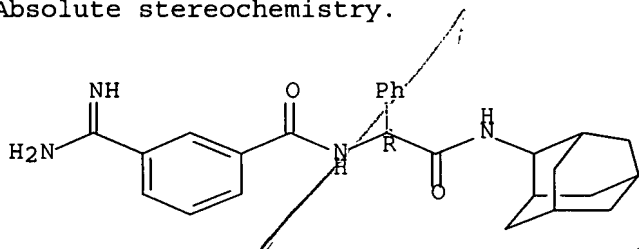
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of meta-benzamidine derivs. of amino acids or dipeptides as serine protease inhibitors)

RN 221235-32-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[3-(aminoiminomethyl)benzoyl]amino]-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:184269 CAPLUS Full-text

DOCUMENT NUMBER: 130:237884

TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John

PATENT ASSIGNEE(S): Proteus Molecular Design Ltd., UK

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

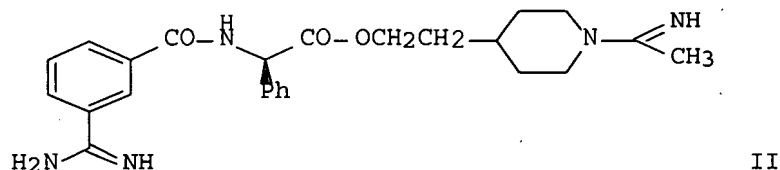
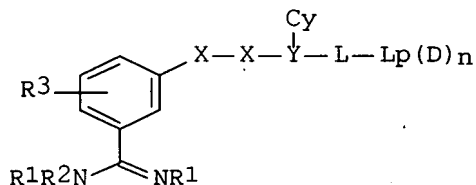
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911658	A1	19990311	WO 1998-GB2605	19980828
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9888757	A	19990322	AU 1998-88757	19980828
EP 1009758	A1	20000621	EP 1998-940430	19980828
EP 1009758	B1	20050601		
R:	DE, FR, GB, IT			
US 2002055522	A1	20020509	US 2001-988082	20011119
US 6740682	B2	20040525		
US 2004143018	A1	20040722	US 2004-752568	20040108

PRIORITY APPLN. INFO.:

GB 1997-18392	A 19970829
GB 1998-3173	A 19980213
WO 1998-GB2605	W 19980828
GB 1999-13823	A 19990614
US 1999-142064P	P 19990702
US 2000-485678	A2 20000225
WO 2000-GB2291	A2 20000613
US 2001-988082	A1 20011119

OTHER SOURCE(S): MARPAT 130:237884  
GI



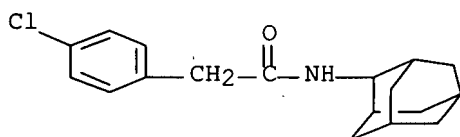
AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyacetyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = org. linker contg. 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)satd., (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxa, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2] and their physiol. tolerable salts were prepd. as serine protease inhibitors useful as antithrombotic agents. Synthesis methodol. for prepg. some I was provided, and common starting materials were Fmoc- or Boc-(D)-phenylglycine and m-amidinobenzoic acid. Descriptions of enzyme assays were given, but no enzyme inhibition data was provided for I. To measure the antithrombotic activity, a partial thromboplastin time test assay was done, and for example, m-amidinobenzoyl-D-phenylglycine ester II (prepn. not given, but 1H NMR characterization data provided), at 1.9 .mu.M concn., doubled the clotting time.

IT 221235-32-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

carboxylic acid with N-cyclohexyl-N-methylamine in THF in the presence of HOBT/EDAC/DIPEA gave amide II in 49% yield. Pyrazole-4-carboxamide (III) inhibited 11.β.-HSD1 enzyme with an IC<sub>50</sub> = 0.04 μM. I are useful for treating metabolic disorders, type II diabetes, impaired glucose tolerance, impaired fasting glucose, dyslipidemia, obesity, hypertension, diabetic late complications, neurodegenerative and psychiatric disorders and adverse effects of treatment or therapy with glucocorticoid receptor agonists.

IT 352343-40-9P, N-Adamantan-2-yl-2-(4-chlorophenyl)acetamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; prepn. of substituted amides as 11.β.-hydroxysteroid dehydrogenase type 1 modulators, esp. inhibitors, for treating metabolic disorders, type II diabetes and related diseases)  
 RN 352343-40-9 CAPLUS  
 CN Benzeneacetamide, 4-chloro-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



*Instant*

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:546468 CAPLUS Full-text  
 DOCUMENT NUMBER: 141:106272  
 TITLE: Preparation of adamantyl acetamides as hydroxysteroid dehydrogenase inhibitors  
 INVENTOR(S): Linders, Joannes Theodorus Maria; Willemsens, Gustaaf Henri Maria; Gilissen, Ronaldus Arnodus Hendrika Joseph; Buyck, Christophe Francis Robert Nestor; Vanhoof, Greta Constantia Peter; Van Der Veken, Louis Jozef Elisabeth; Jaroskova, Libuse  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 66 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056744	A1	20040708	WO 2002-EP14832	20021223
W: US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2508621	A1	20040708	CA 2003-2508621	20031216
WO 2004056745	A2	20040708	WO 2003-EP51021	20031216
WO 2004056745	A3	20041111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,				

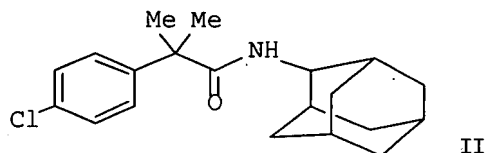
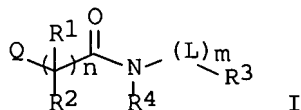
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,  
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003299243	A1	20040714	AU 2003-299243	20031216
EP 1581476	A2	20051005	EP 2003-799577	20031216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017716	A	20051122	BR 2003-17716	20031216
CN 1729158	A	20060201	CN 2003-80107278	20031216
JP 2006511570	T	20060406	JP 2004-561497	20031216
CN 1915964	A	20070221	CN 2006-10109039	20031216
IN 2005DN02773	A	20070105	IN 2005-DN2773	20050622
US 2006079506	A1	20060413	<u>US 2005-540616</u>	20050623
<del>NO 2005003596</del>	A	20050722	NO 2005-3596	20050722

PRIORITY APPLN. INFO.:

WO 2002-EP14832	A	20021223
CN 2003-80107278	A3	20031216
WO 2003-EP51021	W	20031216

OTHER SOURCE(S): MARPAT 141:106272  
 GI



AB The title compds. I [n = 0-2; m = 0-1; R1, R2 = independently H, C1-4alkyl, (substituted)amino, C1-4alkyloxy, or R1 and R2 taken together with the carbon atom with which they are attached form a C3-6cycloalkyl or when n = 2, either R1 or R2 may be absent to form an unsatd. bond; R3 = a C6-12cycloalkyl, preferably selected from cyclo-octanyl and cyclohexyl, etc.; R4 = H or C1-C4alkyl; Q = (substituted)C3-8cycloalkyl, (substituted)heterocycle or (substituted)carbocyclic; L = (substituted)C1-c4alkyl] were prepd. as hydroxysteroid dehydrogenase inhibitors for the treatment of diseases, such as obesity, diabetes, dementia, etc. For example, reaction of 2,2-dimethyl-(4-chlorophenyl)acetic acid and 2-aminoadamantane hydrochloride furnished compd. II. The latter inhibited 11.beta.-hydroxysteroid dehydrogenase type 1 and type 2 (11.beta.-HSD1 and 11.beta.-HSD2) activities with pIC50 in the range of 5-6 and <5, resp.

IT 717889-77-5P 717889-82-2P 717889-86-6P  
 717889-89-9P 717889-90-2P

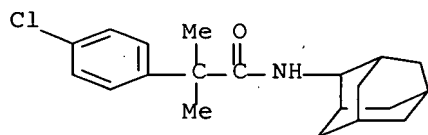
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)

(Prepn. of adamantyl acetamides as hydroxysteroid dehydrogenase inhibitors)

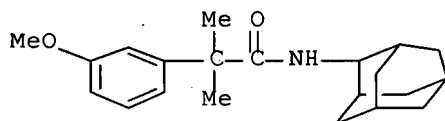
RN 717889-77-5 CAPLUS

CN Benzeneacetamide, 4-chloro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-82-2 CAPLUS

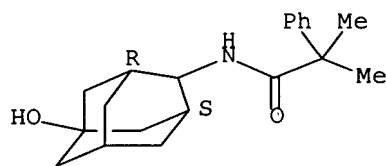
CN Benzeneacetamide, 3-methoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-86-6 CAPLUS

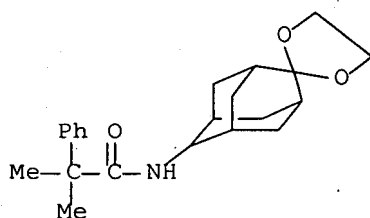
CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



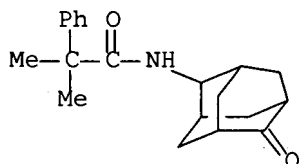
RN 717889-89-9 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-spiro[1,3-dioxolane-2,2'-tricyclo[3.3.1.1<sup>3,7</sup>]decan]-6'-yl- (9CI) (CA INDEX NAME)





RN 717889-90-2 CAPLUS  
 CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-(6-oxotricyclo[3.3.1.1<sup>3</sup>,7]dec-2-yl)- (9CI) (CA INDEX NAME)

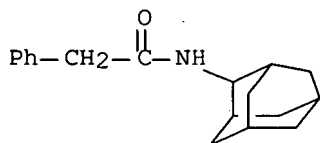


IT 405076-60-0P 433942-93-9P 717889-79-7P  
 717889-81-1P 717889-83-3P 717889-84-4P  
 717889-85-5P 717889-87-7P 717889-88-8P  
 717889-91-3P 717889-96-8P 717889-99-1P  
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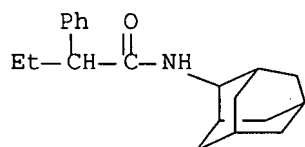
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Prepn. of adamantyl acetamides as hydroxysteroid dehydrogenase inhibitors)

RN 405076-60-0 CAPLUS  
 CN Benzeneacetamide, N-tricyclo[3.3.1.1<sup>3</sup>,7]dec-2-yl- (9CI) (CA INDEX NAME)

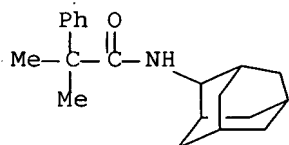


RN 433942-93-9 CAPLUS  
 CN Benzeneacetamide, .alpha.-ethyl-N-tricyclo[3.3.1.1<sup>3</sup>,7]dec-2-yl- (9CI) (CA INDEX NAME)



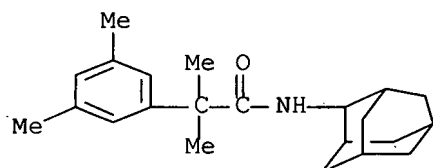
RN 717889-79-7 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



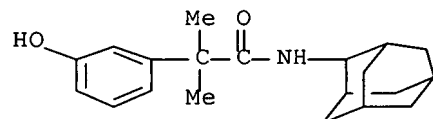
RN 717889-81-1 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.,3,5-tetramethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



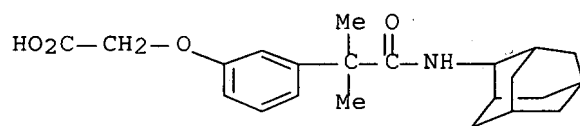
RN 717889-83-3 CAPLUS

CN Benzeneacetamide, 3-hydroxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



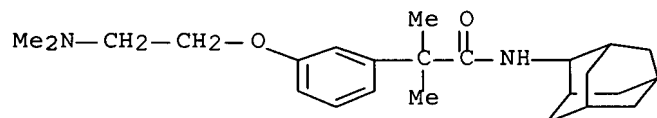
RN 717889-84-4 CAPLUS

CN Acetic acid, [3-[1,1-dimethyl-2-oxo-2-(tricyclo[3.3.1.13,7]dec-2-ylamino)ethyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 717889-85-5 CAPLUS

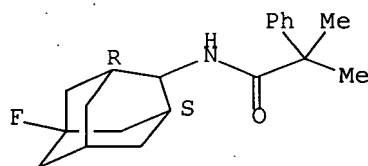
CN Benzeneacetamide, 3-[2-(dimethylamino)ethoxy]-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-87-7 CAPLUS

CN Benzeneacetamide, N-(5-fluorotricyclo[3.3.1.1.3,7]dec-2-yl)-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

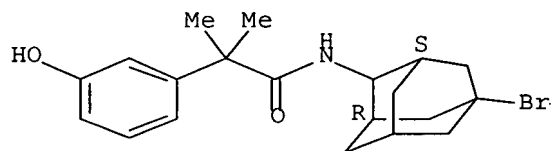
Relative stereochemistry.



RN 717889-88-8 CAPLUS

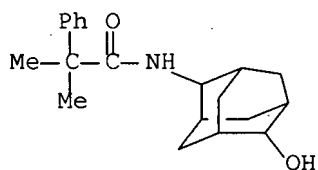
CN Benzeneacetamide, N-(5-bromotricyclo[3.3.1.1.3,7]dec-2-yl)-3-hydroxy-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



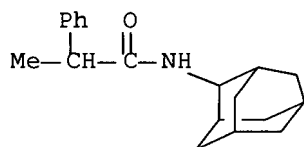
RN 717889-91-3 CAPLUS

CN Benzeneacetamide, N-(6-hydroxytricyclo[3.3.1.1.3,7]dec-2-yl)-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



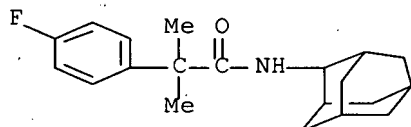
RN 717889-96-8 CAPLUS

CN Benzeneacetamide, .alpha.-methyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI)  
(CA INDEX NAME)



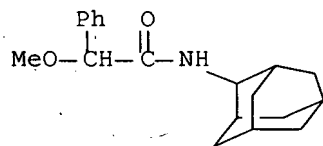
RN 717889-99-1 CAPLUS

CN Benzeneacetamide, 4-fluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



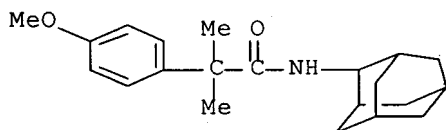
RN 717890-00-1 CAPLUS

CN Benzeneacetamide, .alpha.-methoxy-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI)  
(CA INDEX NAME)



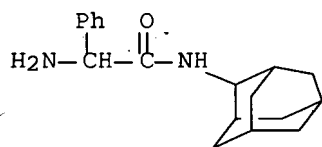
RN 717890-02-3 CAPLUS

CN Benzeneacetamide, 4-methoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



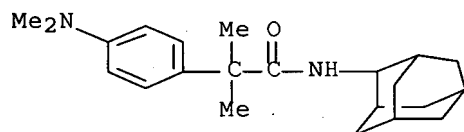
RN 717890-04-5 CAPLUS

CN Benzeneacetamide, .alpha.-amino-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



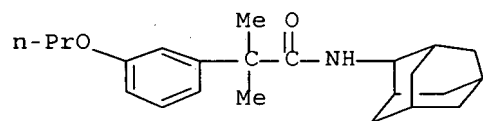
RN 717890-05-6 CAPLUS

CN Benzeneacetamide, 4-(dimethylamino)-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



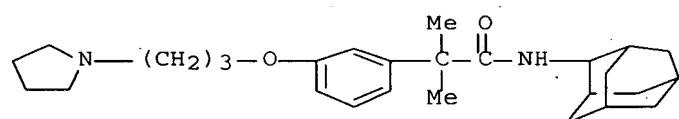
RN 717890-06-7 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-propoxy-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



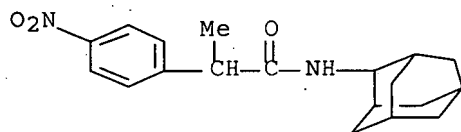
RN 717890-07-8 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-[3-(1-pyrrolidinyl)propoxy]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



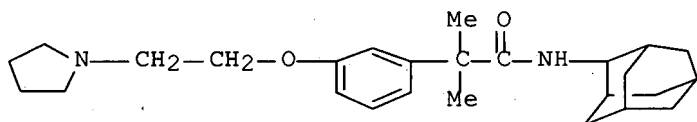
RN 717890-12-5 CAPLUS

CN Benzeneacetamide, .alpha.-methyl-4-nitro-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl-  
(9CI) (CA INDEX NAME)



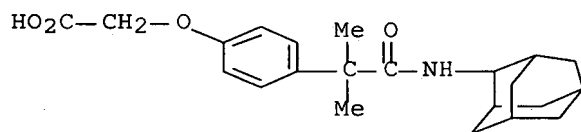
RN 717890-13-6 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-[2-(1-pyrrolidinyl)ethoxy]-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



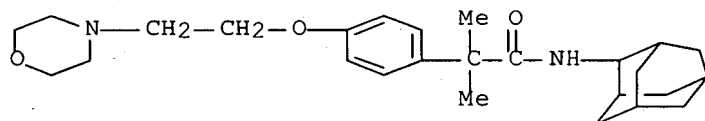
RN 717890-15-8 CAPLUS

CN Acetic acid, [4-[1,1-dimethyl-2-oxo-2-(tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-ylamino)ethyl]phenoxy]- (9CI) (CA INDEX NAME)



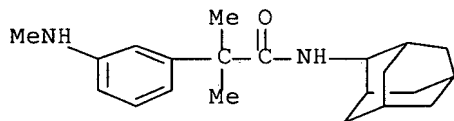
RN 717890-16-9 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-4-[2-(4-morpholinyl)ethoxy]-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



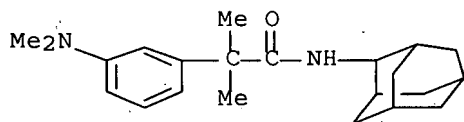
RN 717890-18-1 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-(methylamino)-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



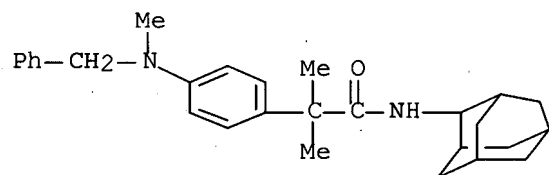
RN 717890-19-2 CAPLUS

CN Benzeneacetamide, 3-(dimethylamino)-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



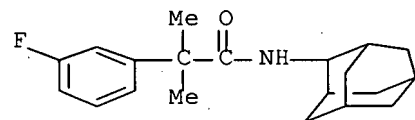
RN 717890-20-5 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-4-[methyl(phenylmethyl)amino]-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



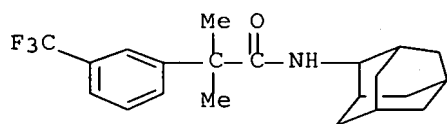
RN 717890-21-6 CAPLUS

CN Benzeneacetamide, 3-fluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



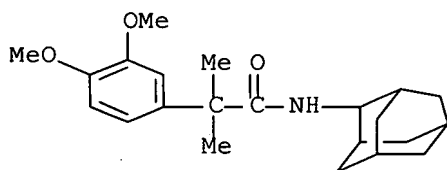
RN 717890-22-7 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1.3,7]dec-2-yl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



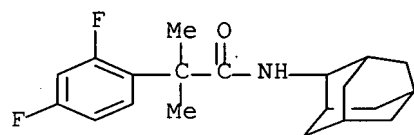
RN 717890-23-8 CAPLUS

CN Benzeneacetamide, 3,4-dimethoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



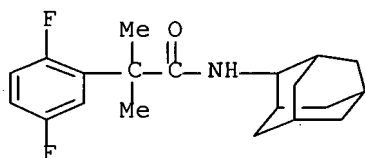
RN 717890-24-9 CAPLUS

CN Benzeneacetamide, 2,4-difluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-25-0 CAPLUS

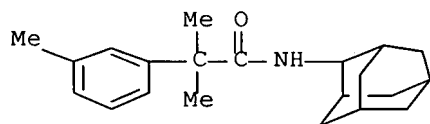
CN Benzeneacetamide, 2,5-difluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-26-1 CAPLUS

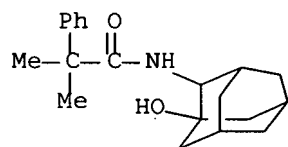
CN Benzeneacetamide, .alpha.,.alpha.,3-trimethyl-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)





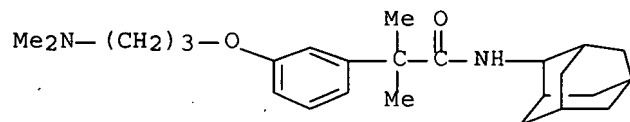
RN 717890-27-2 CAPLUS

CN Benzeneacetamide, N-(1-hydroxytricyclo[3.3.1.1.3,7]dec-2-yl)-  
.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



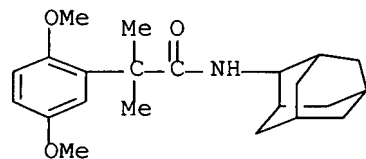
RN 717890-28-3 CAPLUS

CN Benzeneacetamide, 3-[3-(dimethylamino)propoxy]-.alpha.,.alpha.-dimethyl-N-  
tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



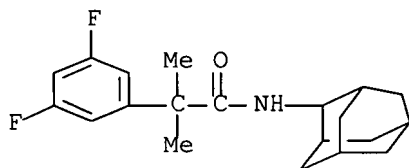
RN 717890-29-4 CAPLUS

CN Benzeneacetamide, 2,5-dimethoxy-.alpha.,.alpha.-dimethyl-N-  
tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



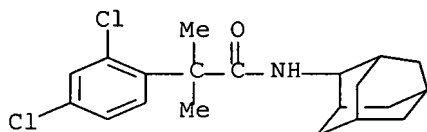
RN 717890-30-7 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-.alpha.,.alpha.-dimethyl-N-  
tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI) (CA INDEX NAME)



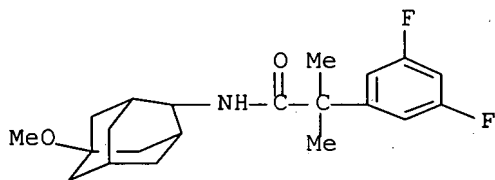
RN 717890-31-8 CAPLUS

CN Benzeneacetamide, 2,4-dichloro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



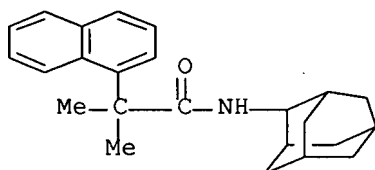
RN 717890-32-9 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-(5-methoxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



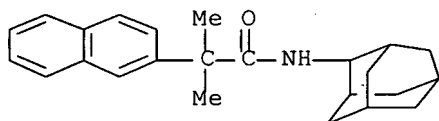
RN 717890-38-5 CAPLUS

CN 1-Naphthaleneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-39-6 CAPLUS

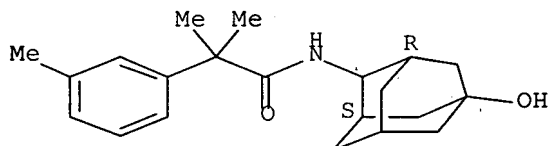
CN 2-Naphthaleneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-45-4 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1.3,7]dec-2-yl)-  
.alpha.,.alpha.,3-trimethyl-, stereoisomer (9CI) (CA INDEX NAME)

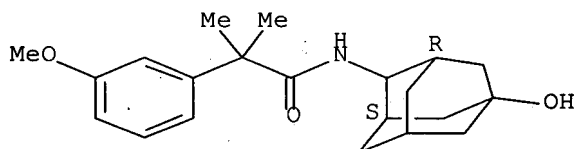
Relative stereochemistry.



RN 717890-46-5 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1.3,7]dec-2-yl)-3-methoxy-  
.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

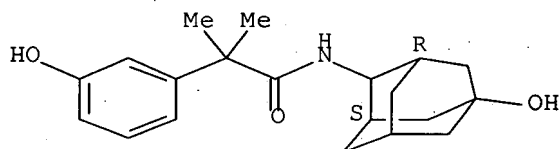
Relative stereochemistry.



RN 717890-47-6 CAPLUS

CN Benzeneacetamide, 3-hydroxy-N-(5-hydroxytricyclo[3.3.1.1.3,7]dec-2-yl)-  
.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

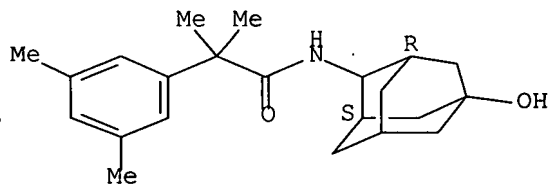
Relative stereochemistry.



RN 717890-48-7 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1.3,7]dec-2-yl)-  
.alpha.,.alpha.,3,5-tetramethyl-, stereoisomer (9CI) (CA INDEX NAME)

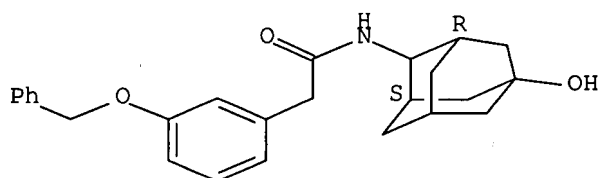
Relative stereochemistry.



RN 717890-50-1 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1.3,7]dec-2-yl)-3-(phenylmethoxy)-, stereoisomer (9CI) (CA INDEX NAME)

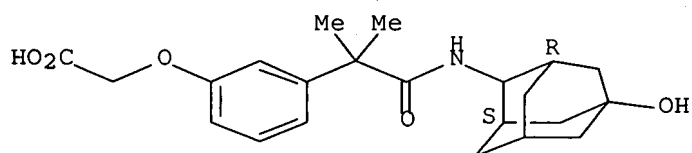
Relative stereochemistry.



RN 717890-51-2 CAPLUS

CN Acetic acid, [3-[2-[(5-hydroxytricyclo[3.3.1.1.3,7]dec-2-yl)amino]-1,1-dimethyl-2-oxoethyl]phenoxy]-, stereoisomer (9CI) (CA INDEX NAME)

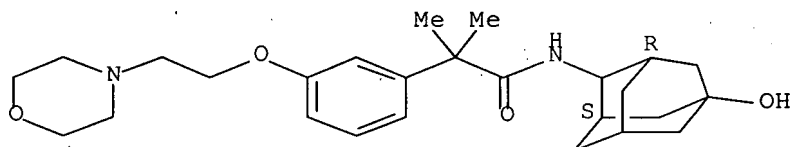
Relative stereochemistry.



RN 717890-52-3 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1.3,7]dec-2-yl)-.alpha.,.alpha.-dimethyl-3-[2-(4-morpholinyl)ethoxy]-, stereoisomer (9CI) (CA INDEX NAME)

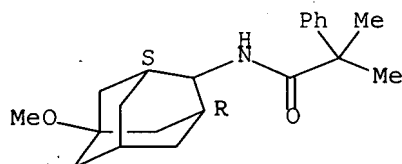
Relative stereochemistry.



RN 717890-53-4 CAPLUS

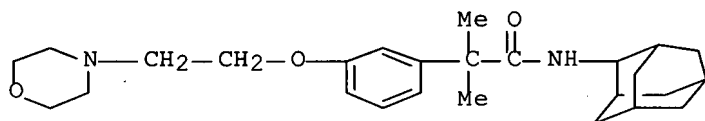
CN Benzeneacetamide, N-(5-methoxytricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)-  
.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



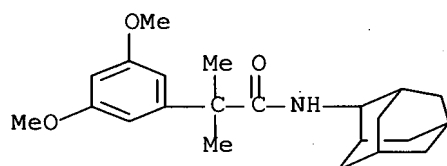
RN 717890-54-5 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-[2-(4-morpholinyl)ethoxy]-N-  
tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



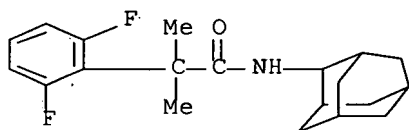
RN 717890-55-6 CAPLUS

CN Benzeneacetamide, 3,5-dimethoxy-.alpha.,.alpha.-dimethyl-N-  
tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-57-8 CAPLUS

CN Benzeneacetamide, 2,6-difluoro-.alpha.,.alpha.-dimethyl-N-  
tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA INDEX NAME)



RN 718599-62-3 CAPLUS

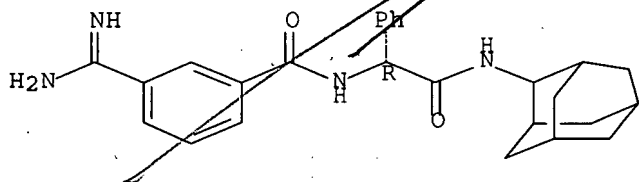
CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)-  
.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

(prepn. of meta-benzamidine derivs. of amino acids or dipeptides as  
serine protease inhibitors)

RN 221235-32-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[3-(aminoiminomethyl)benzoyl]amino]-N-  
tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



on phenyl ring

REFERENCE COUNT:

12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:246982 CAPLUS Full-text

DOCUMENT NUMBER: 114:246982

TITLE: Preparation of arylcarboxamides for promoting  
formation of human nerve growth factor (NGF).

INVENTOR(S): Naruto, Shunji; Matsuda, Keiichi; Sugano, Yuichi;  
Sugimoto, Masahiko

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 399814	A2	19901128	EP 1990-305633	19900523
EP 399814	A3	19920108		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 03086853	A	19910411	JP 1990-119755	19900511
CA 2017287	A1	19901123	CA 1990-2017287	19900522
DD 299424	A5	19920416	DD 1990-340912	19900522
RU 2022961	C1	19941115	RU 1990-4743989	19900522
CN 1048030	A	19901226	CN 1990-103242	19900523
HU 54108	A2	19910128	HU 1990-3164	19900523
HU 208111	B	19930830		
JP 03163053	A	19910715	JP 1990-206008	19900803

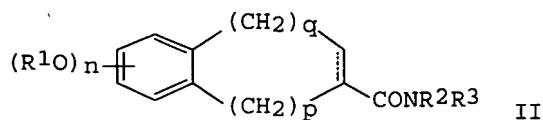
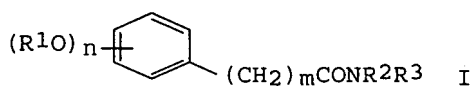
PRIORITY APPLN. INFO.:

JP 1989-129344 A 19890523

JP 1989-204222 A 19890807

OTHER SOURCE(S): MARPAT 114:246982

GI



AB Title compds. I ( $R^1 = \text{H}$ , HO-protecting group;  $R^2 = \text{alkyl}$ , cycloalkyl, cycloalkyl condensed with aryl, aryl, aralkyl, heterocyclyl;  $R^3 = \text{H}$ ,  $R^2$ ;  $R^2R^3N = \text{cyclic amino}$ ;  $m = 1-6$ ;  $n = 1-3$ ) and II ( $R^1-R^3$  and  $n$  as before;  $p, y = 0-3$ ), were prepd. for promoting NGF prodn. and secretion. 2,5-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>NH<sub>2</sub> and pyridine in CH<sub>2</sub>Cl<sub>2</sub> were treated with 3,4-(AcO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>COCl with ice cooling under stirring to give I [ $R^1O)_n = 3,4-(\text{AcO})_2$ ;  $m = 3$ ;  $R^2 = 2,5\text{-Cl}_2\text{C}_6\text{H}_3$ ;  $R^3 = \text{H}$ ] (III). In a test for promotion of secretion of NGF III showed a rel. value of 201% vs. epinephrine 140%. Addnl. 95 I and II were prepd. and showed excellent activity in promoting NGF prodn. and secretion. Capsule formulations contg. 2 specific I are given.

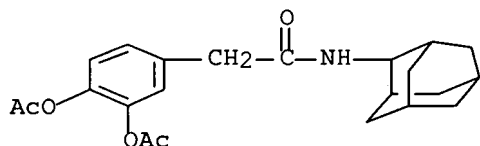
IT 134122-91-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as promoter of human nerve growth factor formation)

RN 134122-91-1 CAPLUS

CN Benzeneacetamide, 3,4-bis(acetyloxy)-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI)  
(CA INDEX NAME)



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:150442 CAPLUS Full-text

DOCUMENT NUMBER: 108:150442

TITLE: Correlation between chemical constitution and sweet taste. Malondiamides and analogs

AUTHOR(S): De Nardo, M.; Collino, F.

CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Trieste, Trieste, Italy

SOURCE: Bollettino Chimico Farmaceutico (1987), 126(3), 109-15

CODEN: BCFAAI; ISSN: 0006-6648

DOCUMENT TYPE: Journal

LANGUAGE: Italian

AB 2-Chloromalondiamide derivs. and analogs have been synthesized by reaction between chloride and substituted malondiamides and analogs in chloroform. The n-alkyl substituted derivs. are nearly all sweet-tasting; secondary amides (cyclic or not) are tasteless, but one is slightly bitter; aralkyl derivs. are bitter.

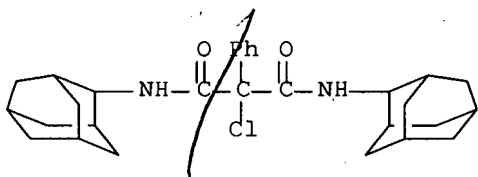
IT 113708-80-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and sweetness of)

RN 113708-80-8 CAPLUS

CN Propanediamide, 2-chloro-2-phenyl-N,N'-bis(tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)-  
(9CI) (CA INDEX NAME)

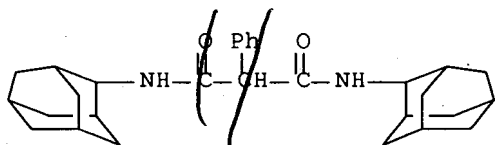


IT 113708-74-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn., taste, and chlorination of)

RN 113708-74-0 CAPLUS

CN Propanediamide, 2-phenyl-N,N'-bis(tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl)- (9CI)  
(CA INDEX NAME)



L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1972:3518 CAPLUS Full-text

DOCUMENT NUMBER: 76:3518

TITLE: Aryl-substituted .alpha.-lactams

AUTHOR(S): Talaty, Erach R.; Utermohlen, Clifford M.; Stekoll,  
Louis H.

CORPORATE SOURCE: Dep. Chem., Wichita State Univ., Wichita, KS, USA

SOURCE: Synthesis (1971), (10), 543-4

CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB The lactam (I, R = 2-adamantyl) (II) was prepd. and its stability compared with that of I (R = 1-adamantyl) (III). Thus, PhCH<sub>2</sub>COCl was treated with Br in boiling CCl<sub>4</sub>. The crude PhCHBrCOCl was treated with 2-aminoadamantane to give the .alpha.-bromoamide (IV). Treatment of IV with tert-BuOK in dry ether at 0.degree. yielded II. III was similarly prepd.

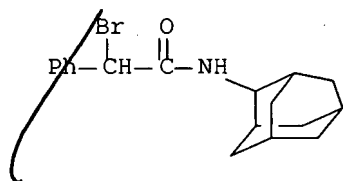
IT 34655-02-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 34655-02-2 CAPLUS

CN Benzeneacetamide, .alpha.-bromo-N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-2-yl- (9CI) (CA  
INDEX NAME)





=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	90.06	262.37
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-13.26	-13.26

STN INTERNATIONAL LOGOFF AT 09:54:07 ON 07 MAY 2007